(19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 27 October 2005 (27.10.2005)

PCT

(10) International Publication Number WO 2005/100303 A1

C07C 251/44 (51) International Patent Classification⁷:

(21) International Application Number:

PCT/KR2005/001066

13 April 2005 (13.04.2005) (22) International Filing Date:

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

10-2004-0025217 13 April 2004 (13.04.2004) KR

(71) Applicants (for all designated States except US): KOREA RESEARCH INSTITUTE OF CHEMICAL TECH-NOLOGY [KR/KR]; #100, Jang-dong, Yuseong-gu, Daejeon 305-343 (KR). **JEIL PHARM. CO., LTD.** [KR/KR]; #745-5, Banpo-dong, Seocho-gu, Seoul 137-041 (KR). KOREA RESEARCH INSTITUTE OF BIOSCIENCE AND BIOTECHNOLOGY [KR/KR]; #52, Oeun-dong, Yuseong-gu, Daejeon 305-333 (KR). CJ CORP. [KR/KR]; #500, Namdaemunro 5-ga, Jung-gu, Seoul 100-802 (KR).

(72) Inventors; and

(75) Inventors/Applicants (for US only): CHEON, Hyae Gyeong [KR/KR]; Narae Apt. 109-402, Jeonmin-dong, Yuseong-gu, Daejeon 305-390 (KR). YOO, Sung-Eun [KR/KR]; #314-16, Keumam-ri, Janggi-myeon, Kongju-si, Chungcheongnam-do 314-911 (KR). KIM, Sung Soo [KR/KR]; Hanwool Apt. 101-901, Sinseong-dong, Yuseong-gu, Daejeon 305-707 (KR). YANG, Sung-Don [KR/KR]; Daelim Dure Apt. 107-304, Sinseong-dong, Yuseong-gu, Daejeon 305-345 (KR). KIM, Kwang-Rok [KR/KR]; Hanmaeul Apt. 115-206, Songgang-dong, Yuseong-gu, Daejeon 305-756 (KR). RHEE, Sang Dal [KR/KR]; Croba Apt. 109-1206, Dunsan-dong, Seo-gu, Daejeon 302-772 (KR). AHN, Jin Hee [KR/KR]; Sejong 109-804, Jeonmin-dong, Yuseong-gu, Daejeon Apt. 305-390 (KR). KANG, Seung Kyu [KR/KR]; Jindalrae Apt. 103-1401, #312-1, Wolpyung-dong, Seo-gu, Daejeon 302-280 (KR). JUNG, Won Hoon [KR/KR]; Bangju Apt. 102-601, Panam-dong, Dong-gu, Daejeon 300-130 (KR). PARK, Sung Dae [KR/KR]; Jamwon Family Apt. 1-1006, Jamwon-dong, Seocho-gu, Seoul 137-030 (KR). KIM, Nam Gee [KR/KR]; Keumho Apt. 107-304, #95-1,

Garak-dong, Songpa-gu, Seoul 138-160 (KR). LEE, Jang Hyuk [KR/KR]; Hyosung Apt. 401-1101, Sinbong-dong, Yongin-si, Kyungki-do 449-535 (KR). HUH, Sun Chul [KR/KR]; #194-1, Okeum-dong, Dukyang-gu, Koyang-si, Kyungki-do 412-150 (KR). LEE, Jae Mok [KR/KR]; #750-42, Shillim-dong, Kwanak-gu, Seoul 151-010 (KR). SONG, Seog Beom [KR/KR]; LG 1-cha Apt. 105-1901, Youngtong-dong, Paldal-gu, Suwon-si, Kyungki-do 442-470 (KR). KWON, Soon Ji [KR/KR]; Duiin Apt. Youngduk-ri, Kiheung-eup, Yongin-si, Kyungki-do 449-740 (KR). KIM, Jong Hoon [KR/KR]; Hangaram Samsung Apt. 210-1302, Bulim-dong, Dongan-gu, Anyang-si, Kyungki-do 431-065 (KR). LEE, Jeong-Hyung [KR/KR]; #252-32, Byeon-dong, Seo-gu, Daejeon 302-190 (KR). KIM, Seung Jun [KR/KR]; Hanbit Apt. 113-1201, Oeun-dong, Yuseong-gu, Daejeon 305-755 (KR).

- (74) Agents: JANG, Seongku et al.; 19th Fl., KEC Building, #275-7, Yangjae-dong, Seocho-ku, Seoul 137-130 (KR).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM. AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: INDENE DERIVATIVES AND PROCESS FOR THE PREPARATION THEREOF

(57) Abstract: The inventive indene derivatives of formula (I) are capable of selectively modulating the activities of peroxisome proliferator activated receptors (PPARs), causing no adverse side effects, and thus, they are useful for the treatment and prevention of disorders modulated by PPARs, i.e., metabolic syndromes such as diabetes, obesity, arteriosclerosis, hyperlipidemia, hyperinsulinism and hypertension, inflammatory diseases such as osteoporosis, liver cirrhosis and asthma, and cancer.



